## (19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 21 July 2005 (21.07.2005)

## (10) International Publication Number WO 2005/066154 A2

(51) International Patent Classification?: C07D 401/04, A61K 31/4545, A61P 31/04

(21) International Application Number:

PCT/IN2004/000347

(22) International Filing Date:

10 November 2004 (10.11.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

1199/MUM/2003

20 November 2003 (20.11.2003) IN US

60/523,872 20 November 2003 (20.11.2003)

(71) Applicants and

- (72) Inventors: DESHPANDE, Prasad, Keshav [IN/IN]; Research Centre, D-4, MIDC Area,, Chikalthana, Aurangabad 431 210, Maharashtra (IN). BHAVSAR, Satish, Baliram [IN/IN]; Wockhardt Research Centre, D-4, MIDC Area, Chikalthana, Aurangabad 431 210, Maharashtra (IN). CHUGH, Yati [IN/IN]; Wockhardt Research Centre, D-4, MIDC Area, Chikalthana, Aurangabad 431 210, Maharashtra (IN). YEOLE, Ravindra, Dattatrya [IN/IN]; Wockhardt Research Centre, D-4, MIDC Area, Chikalthana, Aurangabad 431 210, Maharashtra (IN). DE SOUZA, Noel, John [IN/IN]; 11, Sunita Nivas, S V Road, Santa Crz (W), Mumbai 400 054, Maharashtra (IN). PATEL, Mahesh, Vithalbhai [IN/IN]; Wockhardt Research Centre, D-4, MIDC Area, Chikalthana, Aurangabad 431 210, Maharashtra (IN).
- (74) Common Representative: PATEL, Mahesh, Vithalbhai; Wockhardt Research Centre, D-4, MIDC Area, Chikalthana, Aurangabad 431 210, Maharashtra (IN).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,

PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW. GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW). Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO. SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR. NE, SN, TD, TG).

## Declarations under Rule 4.17:

- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations as to the applicant's entitlement to claim the priority of the
- earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

## Published:

without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL POLYMORPHS OF RACEMIC, DEXTROROTATORY, AND LEVOROTATORY ENANTIONERS 1-CYCLOPROPYL-6-FLUORO-8-METHOXY-7-(4-AMINO-3,3-DIMETHYLPIPERIDIN-1-YL)-1,4-DIHY-OF DRO-4-OXO-QUINOLINE-3-CARBOXYLIC ACID HYDROCHLORIDE AND MESYLATE SALTS

(57) Abstract: A polymorphic forms of the hydrochloride salt and mesylate salt of racemic (±)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic R-(+)-1-cyclopropyl-ó-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid having formula (I) and (II) respectively are described. Processes for their preparation are also described. The invention further relates to methods of using, and pharmaceutical compositions comprising the compounds of the invention for treatment of bacterial infections in mammals.

